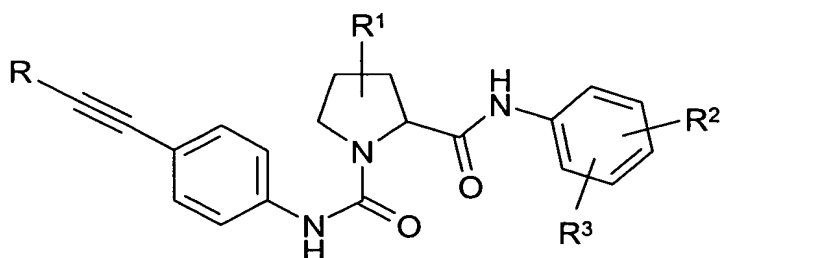


Patent Claims

1. Compounds of the formula I

5

10



in which

R is H, X, A, X-CO- or A-CO-,

R¹ is H, =O, Hal, X, A, OH, OA, A-COO-, A-CONH-,
A-CONA-, N₃, NH₂, NO₂, CN, COOH, COOA, CONH₂,
CON(A)₂, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA,
OCH₂CH(OH)CH₂OH, A-O-CO-(CH₂)_m-O-, -O(CH₂)_mCOOH
or -O(CH₂)_mOA,

R² is H, Hal or A,

R³ is a monocyclic saturated, unsaturated or aromatic hetero-
cyclic radical having from 1 to 4 N, O and/or S atoms,
which may be unsubstituted or mono-, di- or trisubstituted
by Hal, A, OA, CN, (CH₂)_nOH, NR⁴R⁵, =NH, =N-OH,
=N-OA, COOA and/or carbonyl oxygen (=O),
or CONR⁴R⁵,

R² and R³ together are alternatively -CH=CH-NH- or -CH₂-CH₂-NH,
where one H atom may be replaced by A-CO- or A-O-CO-,

R⁴ and R⁵, independently of one another, are H or A,

R⁴ and R⁵ together are alternatively an alkylene chain having 3, 4 or
5 carbon atoms, which may also be substituted by A, Hal,
OA and/or carbonyl oxygen (=CO),

X is aryl, arylalkyl, Het or Het-alkyl,

aryl is phenyl, naphthyl or biphenyl, each of which is unsubsti-
tuted or mono-, di- or trisubstituted by Hal, A, OH, NH₂,

NO₂, CN, COOH, COOA, CONH₂, NHCOA, NHCONH₂,
 NHSO₂A, CHO, COA, SO₂NH₂, SO₂A, -CH₂-COOH or
 -OCH₂-COOH,

5 Het is a mono- or bicyclic saturated, unsaturated or aromatic
 heterocyclic radical having from 1 to 4 N, O and/or S
 atoms, which may be unsubstituted or mono-, di- or trisub-
 stituted by Hal, A, benzyl, cycloalkyl, OH, NH₂, NHCONH₂,
 NO₂, CN, -CH₂-COOH, -CH₂-CONH₂, NHCOA, NR³SO₂A,
 CHO, SO₂NH₂, SO₂A and/or carbonyl oxygen,

10 A is unbranched, branched or cyclic alkyl having 1-10 carbon
 atoms, in which, in addition, 1-7 H atoms may be replaced
 by F and/or chlorine,

 Hal is F, Cl, Br or I,

15 m is 1, 2, 3, 4, 5 or 6,

 n is 0, 1, 2, 3, 4, 5 or 6,

 and pharmaceutically usable derivatives, salts, solvates and stereo-
 isomers thereof, including mixtures thereof in all ratios.

20 2. Compounds according to Claim 1, in which

 R is H or A,

 and pharmaceutically usable derivatives, salts, solvates and stereo-
 isomers thereof, including mixtures thereof in all ratios.

25 3. Compounds according to Claim 1 or 2,
 in which

30 R³ is a monocyclic saturated, unsaturated or aromatic hetero-
 cyclic radical having from 1 to 4 N, O and/or S atoms,
 which may be unsubstituted or mono-, di- or trisubstituted
 by Hal, A, OA, =NH, OH, COOA and/or carbonyl oxygen
 (=O),

35 or CONR⁴R⁵,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

4. Compounds according to one or more of Claims 1-3,
in which

R^3 is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl, 4*H*-1,4-oxazin-4-yl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl,
optionally mono- or disubstituted by Hal, OA, OH, COOA and/or A,
or
 $CONR^4R^5$,

R^4 and R^5 , independently of one another, are H or A,

R^4 and R^5 together are alternatively an alkylene chain having 3, 4 or 5 carbon atoms,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

5. Compounds according to one or more of Claims 1-4,
in which

R is H, X, A, X-CO- or A-CO-,

- 5 R^1 is H, =O, Hal, X, A, OH, OA, A-COO-, A-CONH-,
 A-CONA-, N_3 , NH_2 , NO_2 , CN, COOH, COOA, $CONH_2$,
 $CON(A)_2$, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA,
 $OCH_2CH(OH)CH_2OH$, A-O-CO-(CH_2) $_m$ -O-, -O(CH_2) $_m$ COOH
 or -O(CH_2) $_m$ OA,
- 10 R^2 is H, Hal or A,
- 15 R^3 is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyri-
 din-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-
 1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-
 20 yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-imino-
 imidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperi-
 din-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-
 dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-
 25 pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl),
 2-azabicyclo[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimi-
 din-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-
 yl,
 30 furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl,
 isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl,
 triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or
 pyrazinyl,
 35 optionally mono- or disubstituted by Hal, OA, OH, COOA
 and/or A,
 or
 $CONR^4R^5$,
- R^4 and R^5 , independently of one another, are H or A,
- R^4 and R^5 together are alternatively an alkylene chain having 3, 4 or
 5 carbon atoms,
- X is aryl, arylalkyl, Het or Het-alkyl,
- aryl is phenyl, naphthyl or biphenyl, each of which is
 35 unsubstituted or mono-, di- or trisubstituted by Hal, A, OH,
 NH_2 , NO_2 , CN, COOH, COOA, $CONH_2$, $NHCOA$,

- NHCONH₂, NHSO₂A, CHO, COA, SO₂NH₂, SO₂A,
-CH₂-COOH or -OCH₂-COOH,
- 5 Het is a mono- or bicyclic saturated, unsaturated or aromatic
heterocyclic radical having from 1 to 4 N, O and/or S
atoms, which may be unsubstituted or mono-, di- or
trisubstituted by Hal, A, benzyl, cycloalkyl, OH, NH₂,
NHCONH₂, NO₂, CN, -CH₂-COOH, -CH₂-CONH₂, NHCOA,
NR³SO₂A, CHO, SO₂NH₂, SO₂A and/or carbonyl oxygen,
- 10 A is unbranched, branched or cyclic alkyl having 1-10 carbon
atoms, in which, in addition, 1-7 H atoms may be replaced
by F,
- Hal is F, Cl, Br or I,
and pharmaceutically usable derivatives, solvates and stereoisomers
15 thereof, including mixtures thereof in all ratios.

6. Compounds according to one or more of Claims 1-5,
in which
- 20 R is H or A,
R¹ is H, OH, OA, O-allyl, O-propargyl, OCH₂CH(OH)CH₂OH,
A-O-CO-(CH₂)_m-O-, -O(CH₂)_mCOOH or -O(CH₂)_mOA,
- R² is H, Hal or A,
- 25 R³ is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-
pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl,
2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-oxo-
piperazin-1-yl, 3-oxo-2*H*-pyridazin-2-yl, pyrrolyl, imidazolyl,
pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl,
- 30 pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl,
thiadiazolyl, pyridazinyl or pyrazinyl,
optionally mono- or disubstituted by Hal, OA, OH, COOA
and/or A,
- 35 or CONR⁴R⁵,

R^4 and R^5 together are an alkylene chain having 3, 4 or 5 carbon atoms,

A is unbranched, branched or cyclic alkyl having 1-10 carbon atoms, in which, in addition, 1-7 H atoms may be replaced by F,

Hal is F, Cl, Br or I,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

7. Compounds according to one or more of Claims 1-6, in which

R is H, X, A, X-CO- or A-CO-,

R^1 is H, =O, Hal, X, A, OH, OA, A-COO-, A-CONH-, A-CONA-, N_3 , NH_2 , NO_2 , CN, COOH, COOA, $CONH_2$, $CON(A)_2$, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA, $OCH_2CH(OH)CH_2OH$, A-O-CO-(CH_2) $_m$ -O-, -O(CH_2) $_m$ COOH or -O(CH_2) $_m$ OA,

R^2 is H, Hal or A,

R^3 is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1H-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1H-pyridin-1-yl, 2-oxo-1H-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-imino-piperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1H-pyrazin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2H-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1H-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4H-1,4-oxazin-4-yl,

X is aryl, arylalkyl, Het or Het-alkyl,

aryl is phenyl, naphthyl or biphenyl, each of which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OH, NH_2 ,

NO₂, CN, COOH, COOA, CONH₂, NHCOA, NHCONH₂,
NH₂SO₂A, CHO, COA, SO₂NH₂, SO₂A,
-CH₂-COOH or -OCH₂-COOH,

Het is a mono- or bicyclic saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, benzyl, cycloalkyl, OH, NH₂, NHCONH₂, NO₂, CN, -CH₂-COOH, -CH₂-CONH₂, NHCOA, NR³SO₂A, CHO, SO₂NH₂, SO₂A and/or carbonyl oxygen,

A is unbranched, branched or cyclic alkyl having 1-10 carbon atoms, in which, in addition, 1-7 H atoms may be replaced by F,

Hal is F, Cl, Br or I,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

8. Compounds according to one or more of Claims 1-7,
in which

R³ is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-oxopiperazin-1-yl or 3-oxo-2*H*-pyridazin-2-yl,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

9. Compounds according to one or more of Claims 1-8,
in which

R¹ is H, OH, OA, O-allyl, O-propargyl, OCH₂CH(OH)CH₂OH,
A-O-CO-(CH₂)_m-O-, -O(CH₂)_mCOOH or -O(CH₂)_mOA,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

10. Compounds according to one or more of Claims 1-9,
in which
A is unbranched or branched alkyl having 1-6 carbon atoms,
and pharmaceutically usable derivatives, salts, solvates and stereo-
isomers thereof, including mixtures thereof in all ratios.
11. Compounds according to one or more of Claims 1-10,
in which
R is H or A,
R¹ is H, OH, OA, O-allyl, O-propargyl, OCH₂CH(OH)CH₂OH,
A-O-CO-(CH₂)_m-O-, -O(CH₂)_mCOOH or -O(CH₂)_mOA,
R² is H, Hal or A,
R³ is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyri-
din-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-
1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-oxopiperazin-1-yl
or 3-oxo-2*H*-pyridazin-2-yl,
optionally monosubstituted by A, OH or COOA,
A is unbranched, branched or cyclic alkyl having 1-10 carbon
atoms, in which, in addition, 1-7 H atoms may be replaced
by F,
Hal is F, Cl, Br or I,
and pharmaceutically usable derivatives, salts, solvates and stereo-
isomers thereof, including mixtures thereof in all ratios.
12. Compounds according to Claim 1
- 1-[(4-ethynylphenyl)]-2-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-
(2*R*,4*R*)-4-methoxypyrrolidine-1,2-dicarboxamide,
1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-
(2*R*,4*R*)-4-methoxypyrrolidine-1,2-dicarboxamide,
1-[(4-ethynylphenyl)]-2-[[3-methyl-4-(3-oxomorpholin-4-yl)-
phenyl]]-(2*R*,4*R*)-4-methoxypyrrolidine-1,2-dicarboxamide,

- 1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 5 1-[(4-ethynylphenyl)]-2-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 10 1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-(2R)-pyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-(2R)-pyrrolidine-1,2-dicarboxamide,
- 15 1-[(4-ethynylphenyl)]-2-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-(2R)-pyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]]-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,
- 20 1-[(4-ethynylphenyl)]-2-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 25 1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]]-(2R)-pyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]]-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 30 1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[4-(2-oxo-1*H*-pyrazin-1-yl)phenyl]]-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 35 1-[(4-ethynylphenyl)]-2-[[4-(2-oxopiperidin-1-yl)phenyl]]-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[3-fluor-4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]]-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

- 1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-
(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-
(2S,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 5 1-[(4-ethynylphenyl)]-2-[[4-(2-oxo-2*H*-pyrazin-1-yl)phenyl]]-
(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[4-(2-oxo-2*H*-pyrazin-1-yl)phenyl]]-
(2S,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 10 1-[(4-ethynylphenyl)]-2-[[4-(2-oxopiperidin-1-yl)phenyl]]-
(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[4-(2-oxopyrrolidin-1-yl)phenyl]]-
(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 15 1-[(4-ethynylphenyl)]-2-[[3-methyl-4-(2-oxopiperidin-1-yl)-
phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[3-methyl-4-(2-oxopyrrolidin-1-yl)-
phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 20 1-[(4-ethynylphenyl)]-2-[[3-fluoro-4-(3-oxomorpholin-4-yl)-
phenyl]]-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[3-fluoro-4-(3-oxomorpholin-4-yl)-
phenyl]]-(2S,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,
- 25 1-[(4-ethynylphenyl)]-2-[[1-acetyl-2,3-dihydro-1*H*-indol-5-yl]]-
(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[2-ethoxycarbonyl-1*H*-indol-5-yl]]-
(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-oxomorpholin-4-yl)-
phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 30 1-[(4-ethynylphenyl)]-2-[[3-methoxy-4-(2-oxo-2*H*-pyridin-1-yl)-
phenyl]]-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,
- 1-[(4-ethynylphenyl)]-2-[[3-methyl-4-(3-oxomorpholin-4-yl)-
phenyl]]-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,
- 35 1-[(4-ethynylphenyl)]-2-[[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-
(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

- 1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4R)-4-allyloxypyrrolidine-1,2-dicarboxamide,
1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4R)-4-allyloxypyrrolidine-1,2-dicarboxamide,
5 1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4R)-4-propargyloxypyrrolidine-1,2-dicarboxamide,
1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4R)-4-propargyloxypyrrolidine-1,2-dicarboxamide,
10 1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(2-oxo-2*H*-pyridin-1-yl)phenyl]]-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,
1-[(4-ethynylphenyl)]-2-[[4-(3-methyl-2-oxo-2*H*-pyridin-1-yl)phenyl]]-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,
15 1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4*S*)-4-propargyloxypyrrolidine-1,2-dicarboxamide,
1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4R)-4-(2,3-dihydroxypropoxy)pyrrolidine-1,2-dicarboxamide,
20 1-[(4-ethynylphenyl)]-2-[[4-(5-methyl-2-oxo-2*H*-pyridin-1-yl)phenyl]]-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,
1-[(4-ethynylphenyl)]-2-[[4-(2-methoxycarbonyl-4-hydroxypyrrolidin-1-yl)phenyl]]-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,
25 1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-methyl-2-oxo-2*H*-pyridin-1-yl)phenyl]]-(2*S*,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,
1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-methyl-2-oxo-2*H*-pyridin-1-yl)phenyl]]-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,
30 1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4R)-4-(methoxyethoxy)pyrrolidine-1,2-dicarboxamide,
1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4R)-4-(methoxycarbonylmethoxy)pyrrolidine-1,2-dicarboxamide,
35 1-[(4-ethynylphenyl)]-2-[[4-(3-oxomorpholin-4-yl)phenyl]]-(2R,4R)-4-(carboxymethoxy)pyrrolidine-1,2-dicarboxamide,

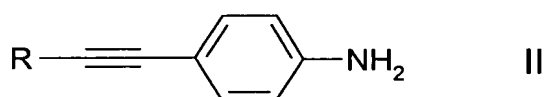
1-[(4-ethynylphenyl)]-2-[[4-(6-methyl-3-oxo-2*H*-pyridazin-2-yl)-phenyl]]-(2*R*,4*R*)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-[[2-methyl-4-(3-oxomorpholin-4-yl)-phenyl]]-(2*R*,4*R*)-4-(methoxyethoxy)pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-[[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]]-(2*R*,4*R*)-4-(methoxyethoxy)pyrrolidine-1,2-dicarboxamide,
and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

13. Process for the preparation of compounds of the formula I according to Claims 1-7 and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, characterised in that

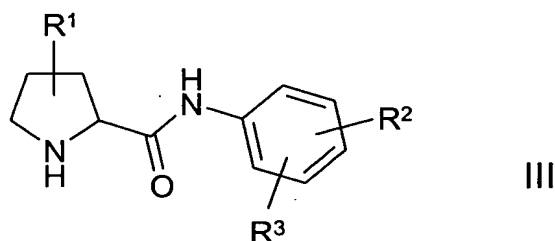
a) a compound of the formula II



in which R is as defined in Claim 1,

is reacted with a chloroformate derivative to give a carbamate derivative intermediate,

which is subsequently reacted with a compound of the formula III



in which

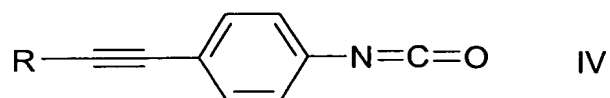
R^1 , R^2 and R^3 are as defined in Claim 1,

or

b) a compound of the formula III

5

is reacted with a compound of the formula IV



10

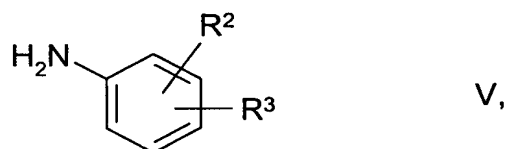
in which

R is as defined in Claim 1,

or

15

c) a compound of the formula V

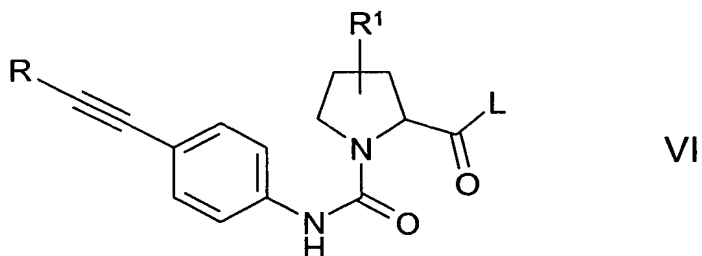


20

in which R^2 and R^3 are as defined in Claim 1,

25

is reacted with a compound of the formula VI



30

35

in which

L is Cl, Br, I or a free or reactively functionally modified OH group,
and

R and R¹ are as defined in Claim 1,

5 and/or a base or acid of the formula I is converted into one of its
salts.

10 14. Compounds of the formula I according to one or more of Claims 1 to
12 as inhibitors of coagulation factor Xa.

15 15. Compounds of the formula I according to one or more of Claims 1 to
12 as inhibitors of coagulation factor VIIa.

20 16. Medicaments comprising at least one compound of the formula I
according to one or more of Claims 1 to 12 and/or pharmaceutically
usable derivatives, salts, solvates and stereoisomers thereof,
including mixtures thereof in all ratios, and, if desired, excipients
and/or adjuvants.

25 17. Medicaments comprising at least one compound of the formula I
according to one or more of Claims 1 to 12 and/or pharmaceutically
usable derivatives, salts, solvates and stereoisomers thereof,
including mixtures thereof in all ratios, and at least one further medi-
cament active ingredient.

30 18. Use of compounds according to one or more of Claims 1 to 12 and/or
physiologically acceptable salts and solvates thereof for the prepara-
tion of a medicament for the treatment of thromboses, myocardial
infarction, arteriosclerosis, inflammation, apoplexia, angina pectoris,
restenosis after angioplasty, claudicatio intermittens, migraine, tinni-
35 tus, tumours, tumour diseases and/or tumour metastases.

19. Set (kit) consisting of separate packs of
- (a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 12 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios,
- 5 and
- (b) an effective amount of a further medicament active ingredient.
- 10 20. Use of compounds of the formula I according to one or more of Claims 1 to 12 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios,
- 15 for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexia, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tinnitus, tumours, tumour diseases and/or tumour metastases,
- 20 in combination with at least one further medicament active ingredient.
- 25
- 30
- 35